d.) Remarks

Claims 14-16 are cancelled as improper for U.S. prosecution. In the parent application, Claims 1-13 were subject to a requirement for restriction; and Claims 5-11 and 13 were objected to. As detailed below, the claims have been amended in response to the restriction requirement and to obviate the bases for objection. No new subject matter has been added by any amendment. Accordingly, the Examiner is requested to consider the claims as amended and to find them allowable.

In response to the restriction requirement, Claims 1-3 and 5 (and claims dependent from them) have been amended to delete values of Q^1 which are other than pyridazinyl. Support for the value of Q^1 for Claims 5 and 24 is found at Example 6.

Claims 5-11 and 13 were objected to as being in improper form because multiple dependent claims depend upon other multiple dependent claims. Accordingly, Claims 5-11 and 13 have been amended; and new Claims 17-26, based upon the mutually dependent multiple dependent claims, have been provided to eliminate the improper form of claiming. In Claim 9, the restrictions of Claim 4 have been included by amendment.

In addition, new Claim 27, drawn to the species of Example 6, has been provided.

In the parent application, Claims 1-13 were rejected under Section 112, second paragraph, as indefinite. (As noted above, the omnibus claims, Claims 14-16 are cancelled.)

In Claim 1, as well as Claims 2 and 3, in the definitions of R^5, R^z and R^{2A}, the term "includes" in the definitions of heteroaryl groups was said to be open ended. In the context, it is strongly felt that the definitions are not open ended; however, to advance the prosecution, the

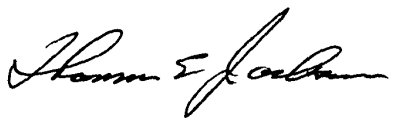
term "includes" has been replaced with the term "has" at each occurrence. It is believed that these amendments cause no change in the scope of the claim.

In the definition of Q^2 of R^2, the obvious typographical error has been emended by correcting the second Q^E to Q^F.

Claim 13 has been amended to comply with the suggestions of the Examiner.

Although not required by the current waiver of the rules, a set of clean pending claims is enclosed for completeness of the record and for the convenience of the Examiner. The Examiner is encouraged to call should it be useful to expedite any further aspect of the prosecution.

Respectfully submitted,



Thomas E. Jackson
Attorney for Applicants
Registration No. 33,064
Phone: 317-277-3735

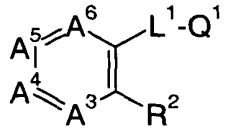
Eli Lilly and Company
Patent Division
P.O. Box 6288
Indianapolis, Indiana 46206-6288

25 July 2003

Enclosure: Clean Pending Claims

Clean Pending Claims

1. (Currently amended) A compound of formula I



I

(or a pharmaceutically acceptable salt thereof) wherein:

A^3, A^4, A^5 and A^6, together with the two carbons to which they are attached, complete a substituted benzene in which A^3 is CR^3, A^4 is CR^4, A^5 is CR^5, and A^6 is CR^6; wherein

R^3 is hydrogen, methyl, methoxy, fluoro, chloro or carboxy;

one of R^4 and R^5 is hydrogen, (1-4C)alkyl, halo, trifluoromethyl, trifluoromethoxy, R^fO-, $R^fO_2CCH_2O$-, $HO(CH_2)_aO$- (in which a is 2, 3 or 4), R^fO_2C-, $R^fO_2CCH_2$-, R^gNH-, R^hSO_2-, hydroxymethyl, formyl, cyano, acetyl, 1-hydroxyethyl, 1-(hydroxyimino)ethyl, 1-(methoxyimino)-ethyl, methylthio or $R^fO_2C(CH_2)_2$-;

the other of R^4 and R^5 is hydrogen; and

R^6 is hydrogen, methyl, fluoro, chloro or methoxy;

in which R^f is hydrogen, (1-4C)alkyl or benzyl; R^g is hydrogen or R^hSO_2-; and R^h is (1-4C)alkyl or dimethylamino;

or each of R^3, R^4 and R^6 is hydrogen; and R^5 is vinyl, 2-cyanovinyl, 2-({(1-2C)alkoxy}carbonyl)vinyl or R^a in which R^a is phenyl (which is unsubstituted or bears one or more substituents independently selected from halo, methyl, methoxy and hydroxy) or heteroaryl (which heteroaryl is a 5-membered aromatic ring which has one to four heteroatoms selected from sulfur, oxygen and nitrogen or is a 6-membered aromatic ring which has one to three nitrogen atoms, wherein

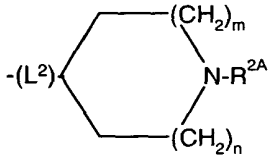
the heteroaryl is attached at carbon and may bear one or more methyl substituents on carbon or nitrogen);

L^1 is -CO-NH- such that $-L^1-Q^1$ is $-CO-NH-Q^1$;

Q^1 is 2-pyrimidinyl (which may bear a methyl, fluoro or chloro substituent at the 5-position);

R^2 is $-L^2-Q^2$ in which $-L^2-$ is -NH-CO-, -NH-CO-X-, -NH-CO-O-X-, -NH-CO-NH-X-, $-NH-CH_2-$, $-NH-C(CH_3)H-$, $-N(CH_3)-CH_2-$ or $-O-CH_2-$; and Q^2 is Q^{2A}, Q^{2B}, Q^{2C}, Q^{2D}, Q^{2E} or Q^{2F} wherein X is a single bond or methylene and the values of L^2 and Q^2 are together selected from $-NH-CO-X-Q^{2A}$, $-NH-CO-O-X-Q^{2A}$, $-NH-CO-NH-X-Q^{2A}$, $-NH-CH_2-Q^{2A}$, $-NH-C(CH_3)H-Q^{2A}$, $-N(CH_3)-CH_2-Q^{2A}$, $-O-CH_2-Q^{2A}$, $-NH-CO-X-Q^{2B}$, $-NH-CO-Q^{2C}$, $-NH-CO-Q^{2D}$, $-NH-CO-Q^{2E}$ and $-NH-CO-Q^{2F}$ in which:

Q^{2A} (showing the L^2 to which it is attached) is



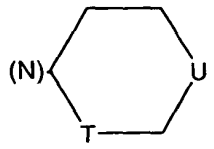
in which

each of m and n independently is 0 or 1, or m is 2 and n is 1, and

R^{2A} is hydrogen, t-butyl, methylsulfonyl, $-CHR^YR^Z$, $-CHR^WR^X$, or 4-pyridinyl (which is unsubstituted or bears a substituent R^V at the 2- or 3-position) wherein

R^V is methyl, hydroxymethyl, {(1-2C)alkoxy}carbonyl; cyano, carbamoyl, thiocarbamoyl, or N-hydroxyamidino;

each of R^W and R^X independently is hydrogen or (1-3C)normal alkyl; or $-CHR^WR^X$ is 2-indanyl or (showing the nitrogen to which it is attached) is



in which T is a single bond or methylene and U is methylene, ethylene, oxy, $-S(O)_q-$ (wherein q is 0, 1 or 2) or imino (which may bear a methyl substituent), or T is ethan-1,1-diyl and U is a single bond or methylene;

R^Y is hydrogen or methyl; and

R^Z is isopropyl, t-butyl, (3-6C)cycloalkyl, phenyl (which is unsubstituted or bears one or more substituents independently selected from halo, methyl, methoxy and hydroxy), 4-quinolinyl or heteroaryl (which heteroaryl is a 5-membered aromatic ring which has one to four heteroatoms selected from sulfur, oxygen and nitrogen or is a 6-membered aromatic ring which has one to three nitrogen atoms, wherein the heteroaryl is attached at carbon and may bear one or more methyl substituents on carbon or nitrogen);

or R^{2A} is $-L^b-CH_2-R^b$ in which $-L^b-$ is a direct bond, $-CH_2-$, $-C(CH_3)H-$ or $-CH_2-CH_2-$; and R^b is carboxy, {(1-2C)alkoxy}carbonyl, cyano, carbamoyl or trifluoromethyl;

or R^{2A} is $-CO-R^c$ in which R^c is hydrogen, (1-3C)alkyl, {(1-2C)alkoxy}carbonyl-$(CH_2)_c-$ (in which c is 1 or 2), phenyl (which is unsubstituted or bears one or more substituents independently selected from halo, methyl, methoxy and hydroxy), heteroaryl (which heteroaryl is a 5-membered aromatic ring which has one to four heteroatoms selected from sulfur, oxygen and nitrogen or is a 6-membered aromatic ring which has one to three nitrogen atoms, wherein the heteroaryl is attached at carbon and may bear one or more methyl substituents on carbon or nitrogen) or $-NR^dR^e$ in which each of R^d and R^e is independently hydrogen, methyl or

ethyl, or $-NR^dR^e$ is pyrrolidino, piperidino, morpholino or thiomorpholino;

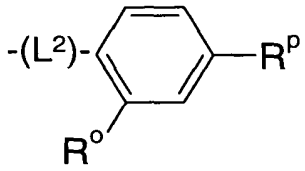
Q^{2B} is 1-piperazinyl which bears at the 4-position the group R^{2A} (defined as above);

Q^{2C} is 3,4-didehydropiperidin-4-yl which bears at the 1-position the group R^{2A} (defined as above);

Q^{2D} is cyclohexyl which bears at the 4-position the group $-NR^sR^t$ in which each of R^s and R^t independently is hydrogen or methyl or R^s and R^t together are trimethylene or tetramethylene;

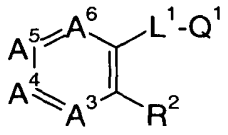
Q^{2E} is 1-piperidinyl which bears at the 4-position the group $-NR^sR^t$ (defined as above); and

Q^{2F} (showing the L^2 to which it is attached) is



in which R^o is hydrogen, halo, (1-6C)alkyl, hydroxy, (1-4C)alkoxy, benzyloxy or (1-4C)alkylthio; and R^p is acetylamino, 1-hydroxyethyl, 1-hydroxy-1-methylethyl, 1-methoxy-1-methylethyl, 4-piperidinyl, 4-pyridinyl, dimethylaminosulfonyl or $-J-R^q$ in which J is a single bond, methylene, carbonyl, oxy, $-S(O)_q-$ (wherein q is 0, 1 or 2), or $-NR^r-$ (wherein R^r is hydrogen or methyl); and R^q is (1-6C)alkyl, phenyl, 3-pyridyl or 4-pyridyl; or $-NR^qR^r$ is pyrrolidino.

2. (Currently amended) The compound of formula I as claimed in Claim 1



I

(or a pharmaceutically acceptable salt thereof) wherein:

A^3, A^4, A^5 and A^6, together with the two carbons to which they are attached, complete a substituted benzene in which A^3 is CR^3, A^4 is CR^4, A^5 is CR^5, and A^6 is CR^6; wherein

R^3 is hydrogen, methyl, fluoro, chloro or carboxy;

one of R^4 and R^5 is hydrogen, (1-4C)alkyl, halo, trifluoromethyl, trifluoromethoxy, R^fO-, $R^fO_2CCH_2O$-, $HO(CH_2)_aO$- (in which a is 2, 3 or 4), R^fO_2C-, $R^fO_2CCH_2$-, R^gNH- or R^hSO_2-;

the other of R^4 and R^5 is hydrogen; and

R^6 is hydrogen, methyl, fluoro, chloro or methoxy;

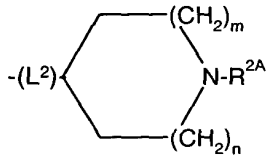
in which R^f is hydrogen, (1-4C)alkyl or benzyl; R^g is hydrogen or R^hSO_2-; and R^h is (1-4C)alkyl or dimethylamino;

L^1 is -CO-NH- such that $-L^1-Q^1$ is -CO-NH-Q^1;

Q^1 is 2-pyrimidinyl (which may bear a methyl, fluoro or chloro substituent at the 5-position);

R^2 is $-L^2-Q^2$ in which $-L^2-$ is -NH-CO-, -NH-CO-X-, -NH-CO-O-X-, -NH-CO-NH-X-, $-NH-CH_2-$ or $-O-CH_2-$; and Q^2 is Q^{2A}, Q^{2B}, Q^{2C}, Q^{2D}, Q^{2E} or Q^{2F} wherein X is a single bond or methylene and the values of L^2 and Q^2 are together selected from $-NH-CO-X-Q^{2A}$, $-NH-CO-O-X-Q^{2A}$, $-NH-CO-NH-X-Q^{2A}$, $-NH-CH_2-Q^{2A}$, $-O-CH_2-Q^{2A}$, $-NH-CO-X-Q^{2B}$, $-NH-CO-Q^{2C}$, $-NH-CO-Q^{2D}$, $-NH-CO-Q^{2E}$ and $-NH-CO-Q^{2F}$ in which:

Q^{2A} (showing the L^2 to which it is attached) is



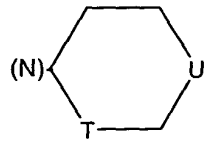
in which

each of m and n independently is 0 or 1, and

R^{2A} is hydrogen, t-butyl, methylsulfonyl, $-CHR^YR^Z$, $-CHR^WR^X$, or 4-pyridinyl (which is unsubstituted or bears a substituent R^V at the 2- or 3-position) wherein

R^V is methyl, hydroxymethyl, {(1-2C)alkoxy}carbonyl; cyano, carbamoyl, thiocarbamoyl, or N-hydroxyamidino;

each of R^W and R^X independently is hydrogen or (1-3C)normal alkyl; or $-CHR^WR^X$ is 2-indanyl or (showing the nitrogen to which it is attached) is



in which T is a single bond or methylene and U is methylene, ethylene, oxy, $-S(O)_q-$ (wherein q is 0, 1 or 2) or imino (which may bear a methyl substituent), or T is ethan-1,1-diyl and U is a single bond or methylene;

R^Y is hydrogen or methyl; and

R^Z is isopropyl, t-butyl, (3-6C)cycloalkyl, phenyl (which is unsubstituted or bears one or more substituents independently selected from halo, methyl, methoxy and hydroxy), 4-quinolinyl or heteroaryl (which heteroaryl is a 5-membered aromatic ring which has one to four heteroatoms selected from sulfur, oxygen and nitrogen or is a 6-membered aromatic ring which has one to three nitrogen atoms, wherein the heteroaryl is attached at carbon and may bear one or more methyl substituents on carbon or nitrogen);

Q^{2B} is 1-piperazinyl which bears at the 4-position the group R^{2A} (defined as above);

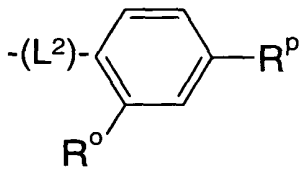
Q^{2C} is 3,4-didehydropiperidin-4-yl which bears at the 1-position the group R^{2A} (defined as above);

Q^{2D} is cyclohexyl which bears at the 4-position the group $-NR^SR^t$ in which each of R^S and R^t independently is

hydrogen or methyl or R^s and R^t together are trimethylene or tetramethylene;

Q^{2E} is 1-piperidinyl which bears at the 4-position the group $-NR^sR^t$ (defined as above); and

Q^{2F} (showing the L^2 to which it is attached) is



in which R^o is hydrogen, halo, (1-6C)alkyl, hydroxy, (1-4C)alkoxy, benzyloxy or (1-4C)alkylthio; and R^p is acetylamino, 1-hydroxyethyl, 1-hydroxy-1-methylethyl, 1-methoxy-1-methylethyl, 4-piperidinyl, 4-pyridinyl, dimethylaminosulfonyl or $-J-R^q$ in which J is a single bond, methylene, carbonyl, oxy, $-S(O)_q-$ (wherein q is 0, 1 or 2), or $-NR^r-$ (wherein R^r is hydrogen or methyl); and R^q is (1-6C)alkyl, phenyl, 3-pyridyl or 4-pyridyl.

3. (Currently amended) A compound of formula I (or a pharmaceutically acceptable salt thereof) as claimed in Claim 2 wherein:

A^3, A^4, A^5 and A^6, together with the two carbons to which they are attached, complete a substituted benzene in which A^3 is CR^3, A^4 is CR^4, A^5 is CR^5, and A^6 is CR^6; wherein

R^3 is hydrogen;

one of R^4 and R^5 is hydrogen, methyl, fluoro, chloro, trifluoromethyl, trifluoromethoxy, R^fO_2C- or R^gNH-;

the other of R^4 and R^5 is hydrogen; and

R^6 is hydrogen;

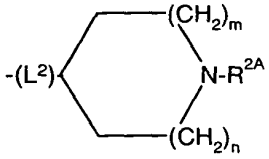
in which R^f is hydrogen, (1-4C)alkyl or benzyl; R^g is hydrogen or R^hSO_2-; and R^h is (1-4C)alkyl or dimethylamino;

L^1 is -CO-NH- such that $-L^1-Q^1$ is $-CO-NH-Q^1$;

Q^1 is 2-pyrimidinyl (which may bear a methyl, fluoro or chloro substituent at the 5-position);

R^2 is $-L^2-Q^2$ in which $-L^2-$ is -NH-CO-, -NH-CO-X-, -NH-CO-O-X-, -NH-CO-NH-X-, $-NH-CH_2-$ or $-O-CH_2-$; and Q^2 is Q^{2A}, Q^{2B}, Q^{2C}, Q^{2D}, Q^{2E} or Q^{2F} wherein X is a single bond or methylene and the values of L^2 and Q^2 are together selected from $-NH-CO-X-Q^{2A}$, $-NH-CO-O-X-Q^{2A}$, $-NH-CO-NH-X-Q^{2A}$, $-NH-CH_2-Q^{2A}$, $-O-CH_2-Q^{2A}$, $-NH-CO-X-Q^{2B}$, $-NH-CO-Q^{2C}$, $-NH-CO-Q^{2D}$, $-NH-CO-Q^{2E}$ and $-NH-CO-Q^{2F}$ in which:

Q^{2A} (showing the L^2 to which it is attached) is



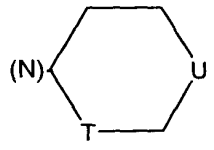
in which

each of m and n independently is 0 or 1, and

R^{2A} is hydrogen, $-CHR^YR^Z$, $-CHR^WR^X$, or 4-pyridinyl (which is unsubstituted or bears a substituent R^V at the 2- or 3-position) wherein

R^V is methyl, hydroxymethyl, {(1-2C)alkoxy}carbonyl; cyano, carbamoyl, thiocarbamoyl, or N-hydroxyamidino;

each of R^W and R^X independently is hydrogen or (1-3C)normal alkyl; or $-CHR^WR^X$ is 2-indanyl or (showing the nitrogen to which it is attached) is



in which T is a single bond or methylene and U is methylene, oxy, thioxy or imino (which may bear a methyl substituent), or T is ethan-1,1-diyl and U is a single bond or methylene;

R^Y is hydrogen or methyl; and

R^Z is isopropyl, t-butyl, (3-6C)cyclopropyl, phenyl (which is unsubstituted or bears one or more substituents independently selected from halo, methyl, methoxy and hydroxy), 4-quinolinyl or heteroaryl (which heteroaryl is a 5-membered aromatic ring which has one to four heteroatoms selected from sulfur, oxygen and nitrogen or is a 6-membered aromatic ring which has one to three nitrogen atoms, wherein the heteroaryl is attached at carbon and may bear one or more methyl substituents on carbon or nitrogen);

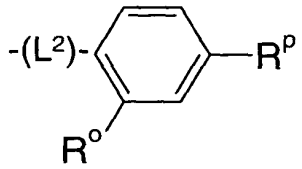
Q^{2B} is 1-piperazinyl which bears at the 4-position the group R^{2A} (defined as above);

Q^{2C} is 3,4-didehydropiperidin-4-yl which bears at the 1-position the group R^{2A} (defined as above);

Q^{2D} is cyclohexyl which bears at the 4-position the group $-NR^sR^t$ in which each of R^s and R^t independently is hydrogen or methyl or R^s and R^t together are trimethylene or tetramethylene;

Q^{2E} is 1-piperidinyl which bears at the 4-position the group $-NR^sR^t$ (defined as above); and

Q^{2F} (showing the L^2 to which it is attached) is



in which R^o is hydrogen and R^p is acetylamino, 1-hydroxyethyl, 1-hydroxy-1-methylethyl, 1-methoxy-1-methylethyl, 4-piperidinyl, 4-pyridinyl, dimethylaminosulfonyl or -J-R^q in which J is a single bond, methylene, carbonyl, oxy, $-S(O)_q-$ (wherein q is 0, 1 or 2),

or -NR^r- (wherein R^r is hydrogen or methyl); and R^q is (1-6C)alkyl, phenyl, 3-pyridyl or 4-pyridyl.

4. (Original) The compound of Claim 1, 2 or 3 wherein halo is fluoro, chloro, bromo or iodo; (1-2C)alkyl is methyl or ethyl; (1-3C)normal alkyl is methyl, ethyl or propyl; (1-4C)alkyl is methyl, ethyl, propyl, isopropyl, butyl, isobutyl, or t-butyl; (1-6C)alkyl is methyl, ethyl, propyl, butyl, pentyl or hexyl; (3-6C)cycloalkyl is cyclopropyl, cyclobutyl, cyclopenytyl or cyclohexyl.

5. (Currently amended) The compound of Claim 4 wherein Q^1 is 5-chloropyrimidin-2-yl .

6. (Currently amended) The compound of Claim 4 wherein R^2 is (1-isopropylpiperidin-4-ylcarbonyl)amino, (1-cyclohexylpiperidin-4-ylcarbonyl)amino, (4-isopropylpiperazin-1-ylcarbonyl)amino, [1-(tetrahydropyran-4-yl)piperidin-4-ylcarbonyl]amino, [4-(1-pyrrolidinyl)piperidin-1-ylcarbonyl]amino, [1-(4-pyridinyl)piperidin-4-ylmethyl]amino, [1-(2-carboxypyridin-4-yl)piperidin-4-ylmethyl]amino, or [1-(2-methoxycarbonylpyridin-4-yl)-piperidin-4-ylmethyl]amino.

7. (Currently amended) The compound as claimed in Claim 4 wherein each of R^3-R^6 is hydrogen.

8. (Currently amended) The compound as claimed in Claim 4 wherein each of R^3, R^4 and R^6 is hydrogen and R^5 is chloro or fluoro.

9. (Currently amended) The compound as claimed in Claim 1 wherein each of R^3, R^4 and R^6 is hydrogen and R^5 is R^a wherein R^a is phenyl, furanyl, thienyl, 2-isothiazolyl or

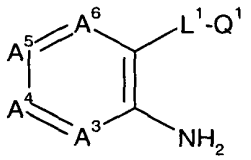
pyridyl; and wherein halo is fluoro, chloro, bromo or iodo; (1-2C)alkyl is methyl or ethyl; (1-3C)normal alkyl is methyl, ethyl or propyl; (1-4C)alkyl is methyl, ethyl, propyl, isopropyl, butyl, isobutyl, or t-butyl; (1-6C)alkyl is methyl, ethyl, propyl, butyl, pentyl or hexyl; (3-6C)cycloalkyl is cyclopropyl, cyclobutyl, cyclopenytyl or cyclohexyl.

10. (Currently amended) The pharmaceutically acceptable salt of a compound of formula I as claimed in any of Claims 1-3 which is an acid-addition salt made from a basic compound of formula I and an acid which provides a pharmaceutically acceptable anion or a salt which is made from an acidic compound of formula I and a base which provides a pharmaceutically acceptable cation.

11. (Currently amended) A pharmaceutical formulation comprising in association with a pharmaceutically acceptable carrier, diluent or excipient, a novel compound of formula I (or a pharmaceutically acceptable salt thereof) as provided in any of Claims 1-3 .

12. (Original) A process for preparing a compound of formula I (or a pharmaceutically acceptable salt thereof) as provided in Claim 1 or 2 which is selected from

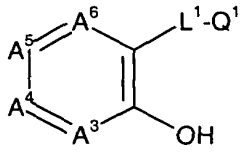
(A) for a compound of formula I in which $-L^2-Q^2$, is $-NH-CO-Q^2$, $-NH-CO-X-Q^2$, $-NH-CO-O-X-Q^2$ or $-NH-CO-NH-X-Q^2$, acylating an amine of formula II,



II

using a corresponding acid of formula HO-CO-Q^2, HO-CO-X-Q^2, HO-CO-O-X-Q^2, or HO-CO-NH-X- Q^2, or an activated derivative thereof;

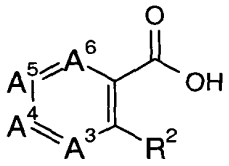
(B) for a compound of formula I in which -L^2-Q^2 is -O-CH_2-Q^{2A}, akylating a phenol of formula III



III

using a reagent of formula Y-CH_2-Q^{2A} in which Y is a conventional leaving group;

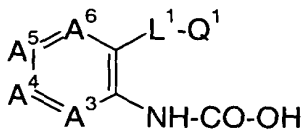
(C) acylating an amine of formula H_2N-Q^1, or a deprotonated derivative thereof, using an acid of formula IV, or an activated derivative thereof;



IV

(D) for a compound of formula I in which R^2 is -NH-CH_2-Q^{2A}, alkylating an amine of formula II directly, using a compound of formula Y-CH_2-Q^{2A}, or indirectly by reductive alkylation using an aldehyde of formula Q^{2A}-CHO;

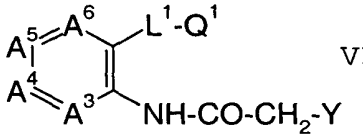
(E) for a compound of formula I in which R^2 is -NH-CO-O-X-Q^{2A}, or -NH-CO-NH-X-Q^{2A}, acylating an alcohol of formula HO-X-Q^{2A} or an amine of formula NH_2-X-Q^{2A}, using an activated derivative of an acid of formula VI;



VI

(F) for a compound of formula I in which R^2 is $-NH-CO-X-Q^{2B}$ in which X is a single bond, acylating at the 1-position a piperazine of formula $H-Q^{2B}$, using an activated derivative of an acid of formula VI;

(G) for a compound of formula I in which R^2 is $-NH-CO-X-Q^{2B}$ in which X is methylene, alkylating at the 1-position a piperazine of formula $H-Q^{2B}$, using an alkylating agent of formula VII



VII

in which Y is a leaving group;

(H) for a compound of formula I in which R^{2A} is methylsulfonyl, substituting the amino nitrogen of a corresponding compound of formula I in which R^{2A} is hydrogen using an activated derivative of methanesulfonic acid;

(I) for a compound of formula I in which R^{2A} is $-CHR^YR^Z$ or $-CHR^WR^X$, alkylating the amino nitrogen of a corresponding compound of formula I in which R^{2A} is hydrogen using an alkylating agent of formula $Y-CHR^YR^Z$ or $Y-CHR^WR^X$ or reductively alkylating the amine using a compound of formula R^Y-CO-R^Z or R^W-CO-R^X;

(J) for a compound of formula I in which R^{2A} is 4-pyridinyl (which is unsubstituted or bears a substituent R^V at the 2- or 3-position), substituting the amino nitrogen of a corresponding compound of formula I in which R^{2A} is hydrogen using a corresponding pyridine reagent bearing a leaving group Y at the 4-position;

(K) for a compound of formula I in which R^{2A} is 4-pyridinyl in which R^V is alkoxycarbonyl, esterifying a corresponding compound of formula I in which R^V is carboxy;

(L) for a compound of formula I in which R^{2A} is 4-pyridinyl in which R^V is hydroxymethyl, reducing the ester of a corresponding compound of formula I in which R^V is alkoxycarbonyl;

(M) for a compound of formula I in which R^{2A} is 4-pyridinyl in which R^V is carbamoyl, amidating the ester of a corresponding compound of formula I in which R^V is alkoxycarbonyl;

(N) for a compound of formula I in which R^{2A} is 4-pyridinyl in which R^V is thiocarbamoyl, adding H_2S to the nitrile of a corresponding compound of formula I in which R^V is cyano;

(O) for a compound of formula I in which R^{2A} is 4-pyridinyl in which R^V is N-hydroxyamidino, adding H_2NOH to the nitrile of a corresponding compound of formula I in which R^V is cyano;

(P) for a compound of formula I in which R^{2A} is 4-pyridinyl in which R^V is carboxy, decomposing the ester of a corresponding compound of formula I in which R^V is alkoxycarbonyl;

(Q) for a compound of formula I in which $-NR^sR^t$ is other than amino, alkylating a corresponding compound of formula I in which $-NR^sR^t$ is amino using a conventional method;

(R) for a compound of formula I which bears $-NR^sR^t$, reductively alkylating $H-NR^sR^t$ using a corresponding compound but in which the carbon to bear the $-NR^sR^t$ group bears an oxo group;

(S) for a compound of formula I in which R^p is 1-hydroxy-1-methylethyl, adding a methyl group to the carbonyl group of a corresponding compound of formula I in which R^p is acetyl using an organometallic reagent;

(T) for a compound of formula I in which R^p is 1-methoxy-1-methylethyl, treating a corresponding compound

of formula I in which R^p is 1-hydroxy-1-methylethyl with methanol and an acid catalyst;

(U) for a compound of formula I in which R^4 or R^5 is amino, reducing the nitro group of a compound corresponding to a compound of formula I but in which R^4 or R^5 is nitro;

(V) for a compound of formula I in which R^4 or R^5 is R^gNH- and R^g is R^hSO_2-, substituting the amino group of a corresponding compound of formula I in which R^4 or R^5 is amino using an activated derivative of the sulfonic acid R^hSO_2-OH;

whereafter, for any of the above procedures, when a functional group is protected using a protecting group, removing the protecting group;

whereafter, for any of the above procedures, when a pharmaceutically acceptable salt of a compound of formula I is required, it is obtained by reacting the basic form of a basic compound of formula I with an acid affording a physiologically acceptable counterion or the acidic form of an acidic compound of formula I with a base affording a physiologically acceptable counterion or by any other conventional procedure;

and wherein, unless otherwise specified, A^3-A^6, L^1, Q^1 and R^2 have any of the values defined in Claim 1 or 2.

13. (Currently amended) A method of inhibiting factor Xa in a mammal comprising administering to the mammal in need thereof , an effective amount of a compound of formula I as provided in any of Claims 1-3 1-10.

14-16. (Cancelled)

17. (New) The compound of Claim 5 wherein R^2 is (1-isopropylpiperidin-4-ylcarbonyl)amino, (1-cyclohexylpiperidin-4-ylcarbonyl)amino,

(4-isopropylpiperazin-1-ylcarbonyl)amino, [1-(tetrahydropyran-4-yl)piperidin-4-ylcarbonyl]amino, [4-(1-pyrrolidinyl)piperidin-1-ylcarbonyl]amino, [1-(4-pyridinyl)piperidin-4-ylmethyl]amino, [1-(2-carboxypyridin-4-yl)piperidin-4-ylmethyl]amino, or [1-(2-methoxycarbonylpyridin-4-yl)-piperidin-4-ylmethyl]amino.

18. (New) The compound as claimed in Claim 5 wherein each of R^3-R^6 is hydrogen.

19. (New) The compound as claimed in Claim 6 wherein each of R^3-R^6 is hydrogen.

20. (New) The compound as claimed in Claim 17 wherein each of R^3-R^6 is hydrogen.

21. (New) The compound as claimed in Claim 5 wherein each of R^3, R^4 and R^6 is hydrogen and R^5 is chloro or fluoro.

22. (New) The compound as claimed in Claim 6 wherein each of R^3, R^4 and R^6 is hydrogen and R^5 is chloro or fluoro.

23. (New) The compound as claimed in Claim 17 wherein each of R^3, R^4 and R^6 is hydrogen and R^5 is chloro or fluoro.

24. (New) The compound of Claim 9 wherein Q^1 is 5-chloropyrimidin-2-yl.

25. (New) The compound of Claim 9 wherein R^2 is (1-isopropylpiperidin-4-ylcarbonyl)amino, (1-cyclohexylpiperidin-4-ylcarbonyl)amino,

(4-isopropylpiperazin-1-ylcarbonyl)amino, [1-(tetrahydropyran-4-yl)piperidin-4-ylcarbonyl]amino, [4-(1-pyrrolidinyl)piperidin-1-ylcarbonyl]amino, [1-(4-pyridinyl)piperidin-4-ylmethyl]amino, [1-(2-carboxypyridin-4-yl)piperidin-4-ylmethyl]amino, or [1-(2-methoxycarbonylpyridin-4-yl)-piperidin-4-ylmethyl]amino.

26. (New) The compound of Claim 24 wherein R^2 is (1-isopropylpiperidin-4-ylcarbonyl)amino, (1-cyclohexylpiperidin-4-ylcarbonyl)amino, (4-isopropylpiperazin-1-ylcarbonyl)amino, [1-(tetrahydropyran-4-yl)piperidin-4-ylcarbonyl]amino, [4-(1-pyrrolidinyl)piperidin-1-ylcarbonyl]amino, [1-(4-pyridinyl)piperidin-4-ylmethyl]amino, [1-(2-carboxypyridin-4-yl)piperidin-4-ylmethyl]amino, or [1-(2-methoxycarbonylpyridin-4-yl)-piperidin-4-ylmethyl]amino.

27. (New) N-(5-Chloropyrimidin-2-yl)-2-[[1-(4-pyridinyl)piperidin-4-ylcarbonyl]amino]benzamide, or a pharmaceutically acceptable salt thereof.